Bibliographic Information

Synthesis of 2'-Modified Oligodeoxynucleotides via On-Column Conjugation. Hwang, Jae-Taeg; Greenberg, Marc M. Department of Chemistry, Colorado State University, Fort Collins, CO, USA. Journal of Organic Chemistry (2001), 66(2), 363-369. CODEN: JOCEAH ISSN: 0022-3263. Journal written in English. CAN 134:42376 AN 2001:10101 CAPLUS (Copyright 2002 ACS)

Abstract

Oligodeoxynucleotides modified at the 2'-position of 2'-amino-2'-deoxyuridine or uridine were prepd. in high yield and purity using phosphoramidites. Oligodeoxynucleotide conjugates were prepd. on the solid-phase synthesis support following selective unmasking of the nucleophile incorporated in these phosphoramidites. Synthesis of oligodeoxynucleotides modified at the 2'-position of an internal nucleotide provides mols. that are complementary to those previously prepd. via a similar approach using C5-substituted pyrimidines. The efficiency of functionalization of the 2'-O-alkylamino-uridine in a protected oligodeoxyribonucleotide was less susceptible to steric hindrance than the 2'-amino-2'-deoxyuridine in the same polymeric substrate. However, the greater reactivity of the 2'-O-alkylamine contg. nucleotide gave rise to undesired acetamide formation resulting from nucleophilic attack on the 5'-terminal acetate in capped failure sequences. This problem was overcome by using 2,2,2-trimethylacetyl anhydride as a capping agent during the automated synthesis cycles. Finally, the efficiency of the photochem. unmasking of the support bound alkylamine on a 1 μmole scale was improved by using two 20 min photolysis cycles, coupled with removing reaction byproducts between cycles.